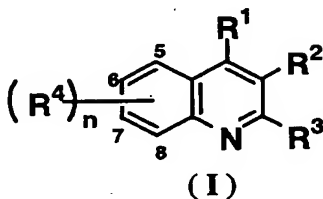


Claims

1. A phosphodiesterase 10A (PDE10A) inhibitor which comprises a quinoline derivative represented by general formula (I)



[wherein n represents an integer of from 1 to 4, R¹ represents substituted or unsubstituted lower alkyl, -C(=Y)R⁹ (wherein Y represents an oxygen atom or a sulfur atom, and R⁹ represents a hydrogen atom, hydroxy, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkoxy, substituted or unsubstituted aryl, a substituted or unsubstituted heterocyclic group, amino, mono-lower alkylamino or di-lower alkylamino), hydroxy, halogen, cyano, amino, mono-lower alkylamino or di-lower alkyl amino, R² represents a hydrogen atom, amino, nitro, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkoxy, -S(O)_mR¹² (wherein R¹² represents substituted or unsubstituted lower alkyl or substituted or unsubstituted aryl, and m represents an integer of from 0 to 2), mono-lower alkylamino or di-lower alkylamino, R³ represents a hydrogen atom, halogen, hydroxy, substituted or unsubstituted lower alkyl, substituted or unsubstituted

cycloalkyl, substituted or unsubstituted aryl or a substituted or unsubstituted heterocyclic group, or R^2 and R^3 form a substituted or unsubstituted condensed ring together with two carbon atoms on roots thereof, and R^4 represents a hydrogen atom, halogen, cyano, amino, nitro, substituted or unsubstituted lower alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted lower alkoxy, $-S(O)_{ma}R^{12a}$ (wherein R^{12a} and ma have the same meanings as those of the above R^{12} and m respectively), $-C(=Y^1)R^{9a}$ (wherein Y^1 and R^{9a} have the same meanings as those of the above Y and R^9 respectively), mono-lower alkylamino or di-lower alkylamino, and when n is an integer of 2 or more, R^4 s each may be the same or different],
or a pharmaceutically acceptable salt thereof as an active ingredient.

2. The PDE10A inhibitor according to claim 1, wherein R^1 is substituted or unsubstituted lower alkyl, $-C(=Y)R^9$ (wherein Y and R^9 have the same meanings as those above-mentioned respectively), cyano or amino, and R^2 is substituted or unsubstituted lower alkyl.

3. The PDE10A inhibitor according to claim 1, wherein R^1 is methyl, hydroxymethyl, acetyl, carboxy, methoxycarbonyl, cyano or amino.

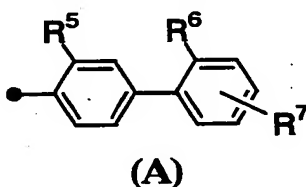
4. The PDE10A inhibitor according to any one of claims 1 to 3, wherein R^3 is substituted or unsubstituted aryl or a

substituted or unsubstituted heterocyclic group.

5. The PDE10A inhibitor according to any one of claims 1 to 3, wherein R^3 is substituted or unsubstituted biphenyl or substituted or unsubstituted piperazinyl.

6. The PDE10A inhibitor according to any one of claims 1 to 3, wherein R^3 is substituted or unsubstituted biphenyl-4-yl or substituted or unsubstituted piperazin-1-yl.

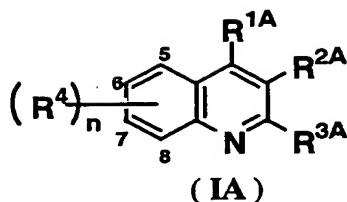
7. The PDE10A inhibitor according to any one of claims 1 to 3, wherein R^3 is general formula (A)



[wherein R^5 , R^6 and R^7 , which may be the same or different, each represent a hydrogen atom, halogen, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkoxy, aryl, substituted or unsubstituted lower alkanoyl or a substituted or unsubstituted heterocyclic group] or piperazin-1-yl having substituted or unsubstituted lower alkyl or substituted or unsubstituted aryl as a substituent on the 4-position.

8. The PDE10A inhibitor according to any one of claims 1 to 7, wherein n is 1, and R^4 is halogen.

9. A quinoline derivative represented by general formula (IA)



[wherein n and R^4 have the same meanings as those above-mentioned respectively, R^{1A} represents lower alkyl, hydroxy lower alkyl, $-C(=Y)R^{9A}$ (wherein Y has the same meaning as that above-mentioned, and R^{9A} represents a hydrogen atom, lower alkyl, lower alkoxy, amino, mono-lower alkylamino or di-lower alkylamino), cyano, amino, mono-lower alkylamino or di-lower alkylamino, R^{2A} represents amino, nitro, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkoxy, $-S(O)_mR^{12}$ (wherein R^{12} and m have the same meanings as those above-mentioned respectively), mono-lower alkylamino or di-lower alkylamino, and R^{3A} represents a substituted or unsubstituted heterocyclic group or substituted or unsubstituted aryl, or R^{2A} and R^{3A} form cycloalkane condensed with a substituted or unsubstituted benzene ring together with two carbon atoms on roots thereof, provided that when R^{1A} is hydroxymethyl or $-C(=O)R^{9B}$ (wherein R^{9B} represents a hydrogen atom, ethyloxy, *n*-propylamino or diethylamino), R^{3A} is not 4-cyclohexylphenyl, when R^{1A} is hydroxymethyl or $-C(=O)R^{9C}$ (wherein R^{9C} represents methoxy, amino, mono-lower alkylamino or di-lower alkylamino) and R^{2A} is carboxyethyl or methoxycarbonyl ethyl, R^{3A} is not 4-(2-fluorophenyl)phenyl nor

biphenyl-4-yl, and when R^{1A} is hydroxymethyl or $-C(=O)R^{9D}$ (wherein R^{9D} represents amino or lower alkoxy) and R^{2A} is methyl, R^{3A} is not biphenyl-4-yl], or a pharmaceutically acceptable salt thereof.

10. The quinoline derivative or the pharmaceutically acceptable salt thereof according to claim 9, wherein R^{3A} is substituted or unsubstituted biphenyl or substituted or unsubstituted piperazin-1-yl.

11. The quinoline derivative or the pharmaceutically acceptable salt thereof according to claim 9, wherein R^{3A} is substituted or unsubstituted biphenyl or piperazin-1-yl having substituted or unsubstituted lower alkyl or substituted or unsubstituted aryl as a substituent on the 4-position.

12. The quinoline derivative or the pharmaceutically acceptable salt thereof according to claim 9, wherein R^{3A} is piperazin-1-yl having substituted or unsubstituted aryl as a substituent on the 4-position.

13. The quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 12, wherein R^{1A} is lower alkyl, hydroxy lower alkyl, $-C(=O)R^{9E}$ (wherein R^{9E} represents lower alkyl or lower alkoxy) or cyano, and R^{2A} is substituted or unsubstituted lower alkyl.

14. The quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 13, wherein R^{1A} is methyl, hydroxymethyl, acetyl,

methoxycarbonyl or cyano.

15. The quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 14, wherein n is 1, and R^4 is halogen.

16. A PDE10A inhibitor which comprises the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 15 as an active ingredient.

17. An agent for treating and/or preventing a disease caused by enhancing the activity of PDE10A, which comprises the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 15 as an active ingredient.

18. An agent for treating and/or preventing dyskinesia, which comprises the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 15 as an active ingredient.

19. An antitumor agent which comprises the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 15 as an active ingredient.

20. An agent for treating and/or preventing dyskinesia, which comprises a compound having PDE10A inhibitory activity or a pharmaceutically acceptable salt thereof as an active ingredient.

21. A pharmaceutical composition which comprises the quinoline derivative or the pharmaceutically acceptable salt

thereof according to any one of claims 9 to 15 as an active ingredient.

22. Use of the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 1 to 8 for manufacture of a PDE10A inhibitor.

23. Use of the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 15 for manufacture of a PDE10A inhibitor.

24. Use of the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 1 to 8 for manufacture of an agent for treating and/or preventing a disease caused by enhancing the activity of PDE10A.

25. Use of the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 15 for manufacture of an agent for treating and/or preventing a disease caused by enhancing the activity of PDE10A function.

26. Use of the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 15 for manufacture of an agent for treating and/or preventing dyskinesia.

27. Use of the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 15 for manufacture of an antitumor agent.

28. A method for treating a disease caused by enhancing the activity of PDE10A, which comprises administering an effective amount of the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 1 to 8.

29. A method for treating a disease caused by enhancing the activity of PDE10A, which comprises administering an effective amount of the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 15.

30. A method for treating dyskinesia, which comprises administering an effective amount of the quinoline derivative or the pharmaceutically acceptable salt thereof according to one any of claims 9 to 15.

31. A method for treating a malignant tumor, which comprises administering an effective amount of the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 15.

32. Use of a compound having PDE10A inhibitory activity or a pharmaceutically acceptable salt thereof for manufacture of an agent for treating and/or preventing dyskinesia.

33. A method for treating dyskinesia, which comprises administering an effective amount of a compound having PDE10A inhibitory activity or a pharmaceutically acceptable salt

thereof.